# STIC Search Report
EIC 1700

## STIC Database Tracking Number: 175364

**TO: Ben Sackey**
**Location: REM 5B31**
**Art Unit : 1626**
**January 4, 2006**

**Case Serial Number: 10/719184**

**From: Kathleen Fuller**
**Location: EIC 1700**
**REMSEN 4B28**
**Phone: 571/272-2505**
**Kathleen.Fuller@uspto.gov**

## Search Notes

There were only 17 structures from the query and only 1 CA references from the structures, the applicant.

FOR OFFICIAL USE ONLY

ACCESS DB # 175364

PLEASE PRINT CLEARLY

Mrs Fuller

Scientific and Technical Information Center

# SEARCH REQUEST FORM

Requester's Full Name: BEN SACKEY Examiner #: 73489 Date: 12/30/05

Art Unit: 1626 Phone Number: 2-0704 Serial Number: 10/719,184

Location (Bldg/Room#): REM 5B31 (Mailbox #): _____ Results Format Preferred (circle): PAPER DISK

**********

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Arylcyclopropylcarboxylic amides as potassium channel openers

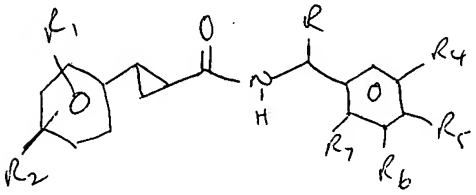
Inventors (please provide full names): Young-Jin Wu et al.

Earliest Priority Date: 11/22/02

Search Topic:

*Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.*

*For Sequence Searches Only* *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R¹ and R² are each H, C1-4 alkyl, halogen or Morpholin-4-yl.

R⁴ is selected from morpholin-4-yl, pyridinyl, pyrimidinyl etc

and method of treating bipolar disorder, epilepsy etc

Thanks

**********

STAFF USE ONLY

Searcher: K. Fuller

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 1/4/06

Date Completed: _____

Searcher Prep & Review Time: 20

Online Time: 30

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

1 Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

✓ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

___ In-house sequence systems

___ Commercial ___ Oligomer ___ Score/Length

___ Interference ___ SPDI ___ Encode/Transl

_____ Other (specify)

=> FILE REG
FILE 'REGISTRY' ENTERED AT 10:41:05 ON 04 JAN 2006


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JAN 2006 HIGHEST RN 871080-87-4
DICTIONARY FILE UPDATES: 3 JAN 2006 HIGHEST RN 871080-87-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

**********
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

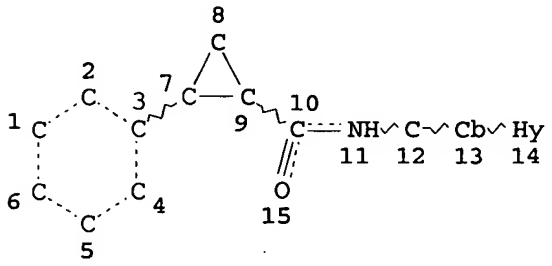
=> FILE HCAPLU
FILE 'HCAPLUS' ENTERED AT 10:41:09 ON 04 JAN 2006


FILE COVERS 1907 - 4 Jan 2006 VOL 144 ISS 2
FILE LAST UPDATED: 3 Jan 2006 (20060103/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> D QUE
L3 STR




17 structures from this query

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 13
GGCAT IS MCY AT 14
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M1 N AT 14

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE
L5 17 SEA FILE=REGISTRY SSS FUL L3
L7 1 SEA FILE=HCAPLUS ABB=ON L5

1 CA reference from the 17 structures —

=> D L7 BIB ABS IND HITSTR

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:470948 HCAPLUS
DN 141:38448
TI Preparation of arylcyclopropylcarboxylic amides as potassium channel openers
IN Wu, Yong-jin; Sun, Li-qiang; L'heureux, Alexandre
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English

applicant

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004047738 | A2 | 20040610 | WO 2003-US37305 | 20031121 |
| | WO 2004047738 | A3 | 20041007 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004110754 A1 20040610 US 2003-719184 20031121
EP 1565190 A2 20050824 EP 2003-786986 20031121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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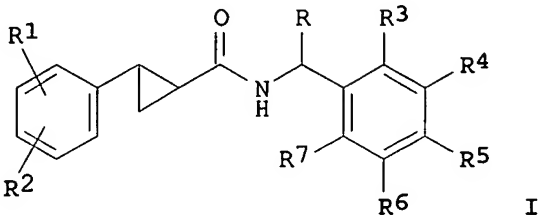
WO 2003-US37305 W 20031121
OS MARPAT 141:38448
GI



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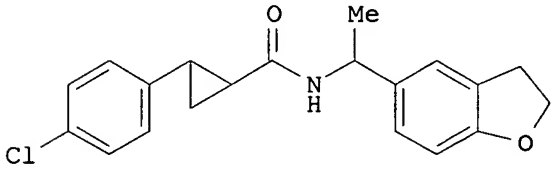


II

AB The title compds. [I; R = alkyl, CF3, hydroxymethyl; R1, R2 = H, alkyl, halo, morpholin-4-yl; R4 = (un)substituted morpholin-4-yl, pyridinyl, pyrimidinyl, etc.; R5 = H, F; or R4 and R5 taken together = CH:CHCH:CH, CH2CH2O; R3, R6, R7 = H, F] which are openers or activators of KCNQ potassium channels (biol. data given), were prepared Thus, amidation of 1-(2,3-dihydrobenzofuran-5-yl)ethylamine with 2-(4-chlorophenyl)cyclopropanecarboxylic acid afforded the amide II. The present invention also provides pharmaceutical compns. comprising the compds. I, and the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

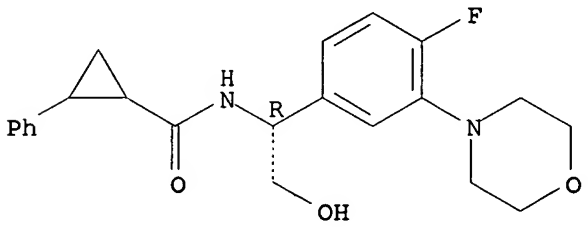
IC ICM A61K
CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 63
ST arylcyclopropylcarboxylic acid amide prepn potassium channel opener epilepsy pain; cyclopropanecarboxamide aryl prepn potassium channel opener migraine bipolar disorder; anxiolytic cyclopropanecarboxamide aryl prepn potassium channel opener; analgesic cyclopropanecarboxamide aryl prepn potassium channel opener; anticonvulsant cyclopropanecarboxamide aryl prepn potassium channel opener; antimigraine cyclopropanecarboxamide aryl prepn potassium channel opener
IT Pain
(acute, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Mental and behavioral disorders
(bipolar disorder, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Pain
(chronic, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)

IT Nervous system, disease
(degeneration, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Mental and behavioral disorders
(depression, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Mental and behavioral disorders
(mania, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Headache
(migraine, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Analgesics
Anticonvulsants
Antidepressants
Antimigraine agents
Anxiolytics
Nervous system agents
Potassium channel openers
(preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Pain
(treatment of neuropathic pain; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT Anxiety
Convulsion
Epilepsy
(treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT 701913-63-5P 701913-64-6P 701913-65-7P 701913-66-8P 701913-67-9P
701913-68-0P 701913-69-1P 701913-70-4P 701913-71-5P 701913-72-6P
701913-73-7P 701913-74-8P 701913-75-9P 701913-76-0P
**701913-77-1P 701913-78-2P 701913-79-3P**
**701913-80-6P 701913-81-7P 701913-82-8P**
**701913-83-9P 701913-84-0P** 701913-85-1P 701913-86-2P
701913-87-3P 701913-88-4P **701913-89-5P 701913-90-8P**
**701913-91-9P 701913-92-0P 701913-93-1P**
**701913-94-2P 701913-95-3P 701913-96-4P**
**701913-97-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT 110-91-8, Morpholine, reactions 827-54-3 939-58-2 1615-02-7
1692-25-7 1866-38-2 4248-19-5 5685-38-1 14290-86-9 18944-77-9
20595-30-6 69045-79-0 77771-02-9 112898-33-6 122416-42-6
132958-72-6 139305-96-7 351019-18-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT 90940-40-2P 91552-11-3P 175168-71-5P 175168-72-6P 175275-74-8P
199393-35-6P 204851-80-9P 243665-10-3P 243665-11-4P 243665-15-8P
243665-16-9P 372183-83-0P 372183-86-3P 388075-76-1P 477312-85-9P
477312-91-7P 477312-93-9P 477312-94-0P 697804-10-7P 701913-98-6P
701913-99-7P 701914-00-3P 701914-01-4P 701914-02-5P 701914-03-6P
701914-04-7P 701914-05-8P 701914-06-9P 701914-07-0P 701914-08-1P
701914-09-2P 701914-10-5P 701914-11-6P 701914-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT **701913-77-1P 701913-78-2P 701913-79-3P**
**701913-80-6P 701913-81-7P 701913-82-8P**

**701913-83-9P 701913-84-0P 701913-89-5P**
**701913-90-8P 701913-91-9P 701913-92-0P**
**701913-93-1P 701913-94-2P 701913-95-3P**
**701913-96-4P 701913-97-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcyclopropanecarboxamides as potassium channel openers)

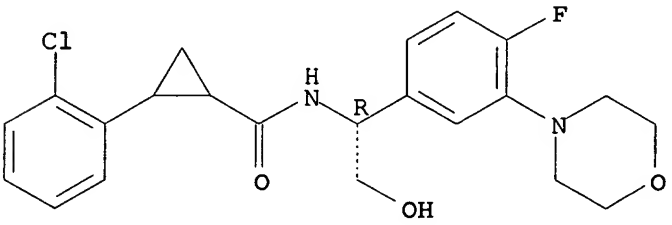
RN 701913-77-1 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



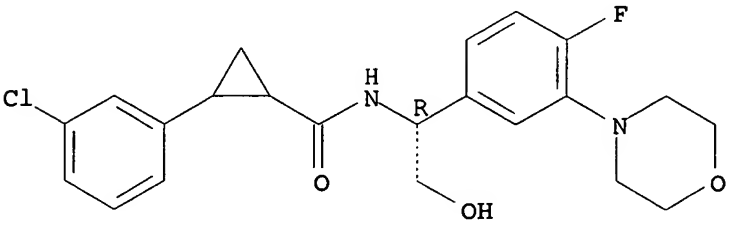

RN 701913-78-2 HCAPLUS
CN Cyclopropanecarboxamide, 2-(2-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



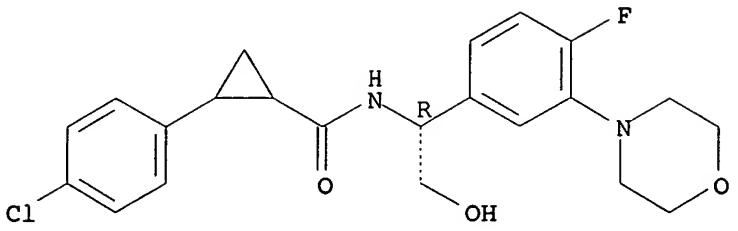

RN 701913-79-3 HCAPLUS
CN Cyclopropanecarboxamide, 2-(3-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



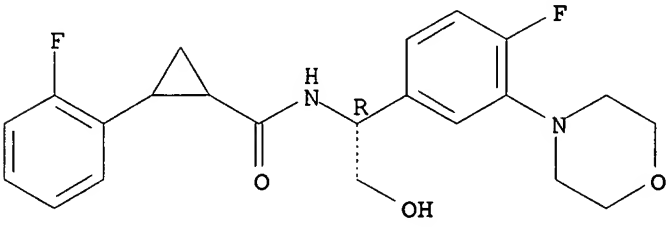

RN 701913-80-6 HCAPLUS
CN Cyclopropanecarboxamide, 2-(4-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



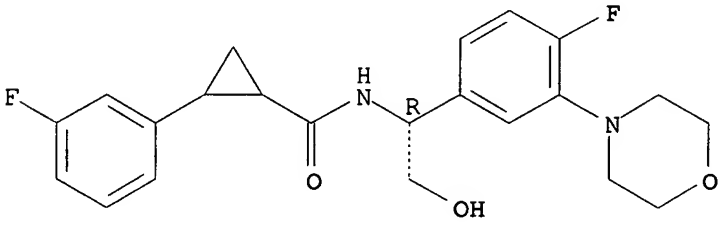
RN 701913-81-7 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



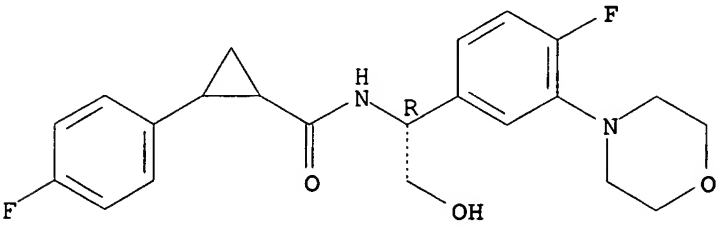
RN 701913-82-8 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



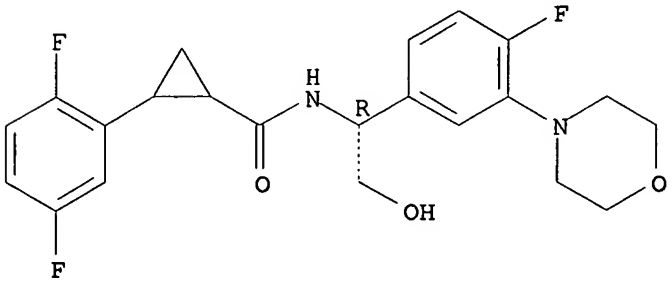
RN 701913-83-9 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



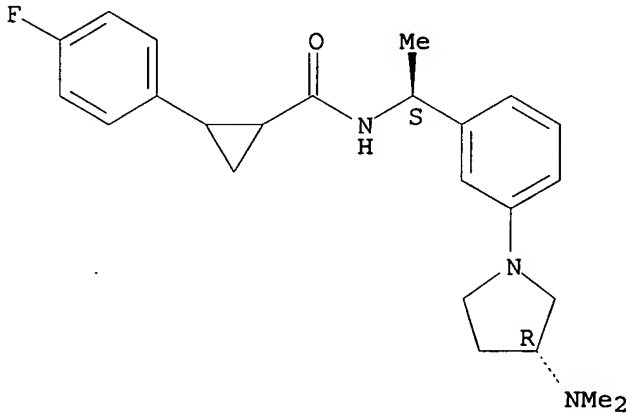
RN 701913-84-0 HCAPLUS
CN Cyclopropanecarboxamide, 2-(2,5-difluorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



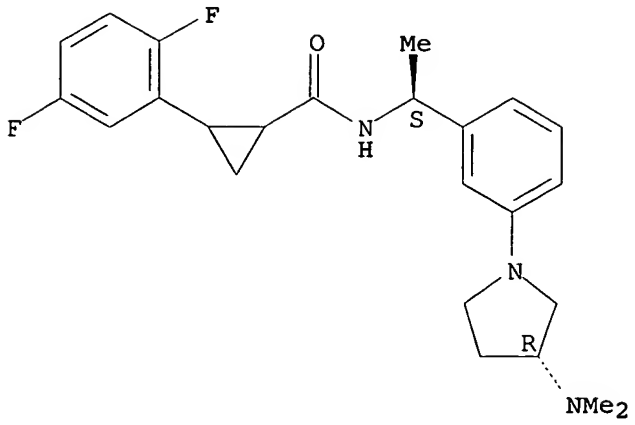
RN 701913-89-5 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]phenyl]ethyl]-2-(4-fluorophenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



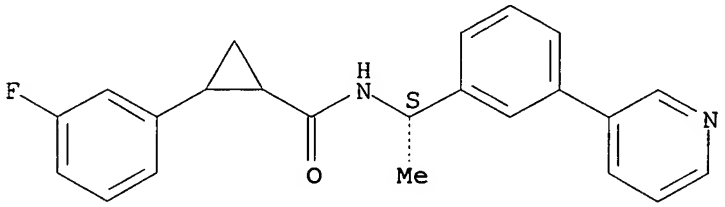
RN 701913-90-8 HCAPLUS
CN Cyclopropanecarboxamide, 2-(2,5-difluorophenyl)-N-[(1R)-1-[3-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]phenyl]ethyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 701913-91-9 HCAPLUS
CN Cyclopropanecarboxamide, 2-(3-fluorophenyl)-N-[(1S)-1-[3-(3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 701913-92-0 HCAPLUS
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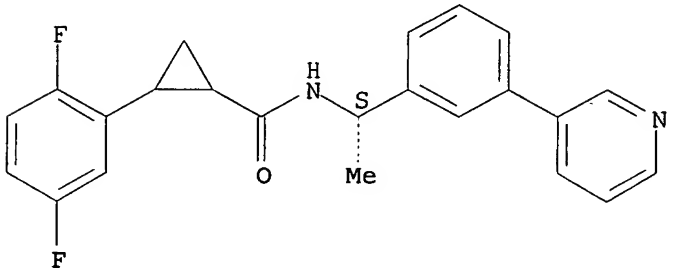
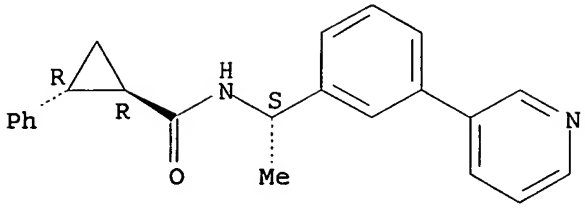
Absolute stereochemistry.



RN 701913-93-1 HCAPLUS
CN Cyclopropanecarboxamide, 2-phenyl-N-[(1S)-1-[3-(3-pyridinyl)phenyl]ethyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 701913-94-2 HCAPLUS
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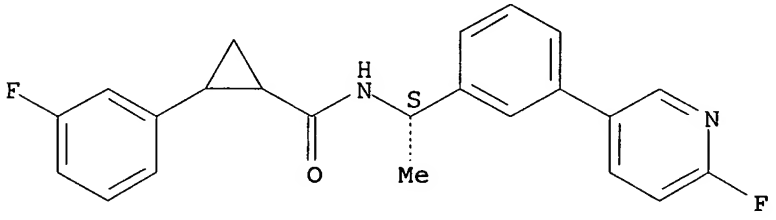
Absolute stereochemistry.



RN 701913-95-3 HCAPLUS
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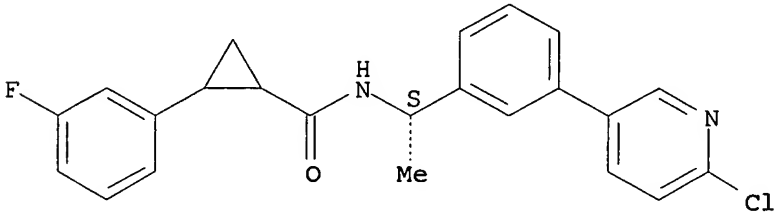
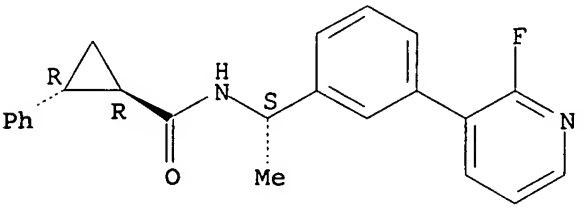
Absolute stereochemistry.



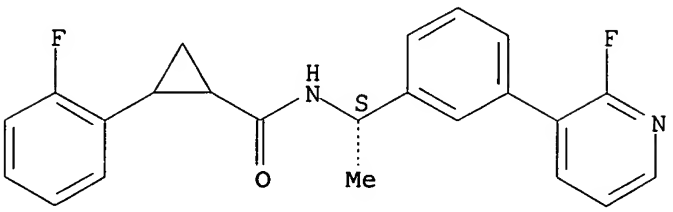
RN 701913-96-4 HCAPLUS
CN Cyclopropanecarboxamide, N-[(1S)-1-[3-(2-fluoro-3-pyridinyl)phenyl]ethyl]-2-phenyl-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 701913-97-5 HCAPLUS
CN Cyclopropanecarboxamide, 2-(2-fluorophenyl)-N-[(1S)-1-[3-(2-fluoro-3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




=>